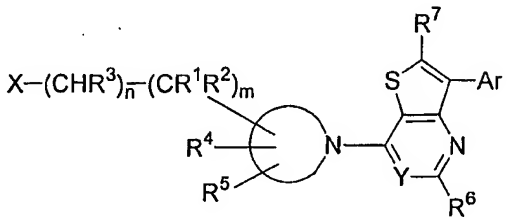
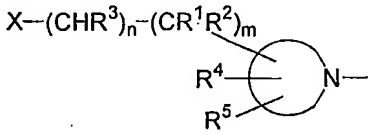
CLAIMS

1. A thienopyrimidine or thienopyridine derivative substituted with a cyclic amino group represented by the following formula [I]:



[I]

(wherein the cyclic amino group is represented by the following formula [II]:



[II]

in which the cyclic amino group is a 3- to 8-membered saturated cyclic amine or a 3- to 8-membered saturated cyclic amine bridged with $C_{1-5}$alkylene or $C_{1-4}$alkylene-O-$C_{1-4}$alkylene between any different two carbon atoms of the cyclic amine, which cyclic amine is substituted with a group represented by $-(CR^1R^2)_m-(CHR^3)_n-X$, $R^4$ and $R^5$ independently on the same or different carbon atoms of the cyclic amine;

X is cyano, hydroxy, $-CO_2R^8$ or $-CONR^9R^{10}$;

Y is N or $CR^{11}$;

$R^1$ is hydrogen, hydroxy, $C_{1-5}$alkyl, $C_{1-5}$alkoxy-$C_{1-5}$alkyl or hydroxy-$C_{1-5}$alkyl;

$R^2$ is hydrogen or $C_{1-5}$alkyl;

$R^3$ is hydrogen, cyano, $C_{1-5}$alkyl, $C_{1-5}$alkoxy-$C_{1-5}$alkyl or hydroxy-$C_{1-5}$alkyl;

m is an integer selected from 0, 1, 2, 3, 4 and 5;

n is 0 or 1;

$R^4$ is hydrogen, hydroxy, hydroxy-$C_{1-5}$alkyl, cyano, cyano-$C_{1-5}$alkyl or $C_{1-5}$alkyl;

$R^5$ is hydrogen or $C_{1-5}$alkyl;

$R^6$ is hydrogen, $C_{1-5}$alkyl, $C_{3-8}$cycloalkyl, $C_{3-8}$cycloalkyl-$C_{1-5}$alkyl, hydroxy, $C_{1-5}$alkoxy, $C_{3-8}$cycloalkyloxy, halogen, $C_{1-5}$alkylthio or $-N(R^{12})R^{13}$;

$R^7$ is hydrogen, halogen, $C_{1-5}$alkyl, $C_{3-8}$cycloalkyl, $C_{3-8}$cycloalkyl-$C_{1-5}$alkyl, hydroxy, $C_{1-5}$alkoxy, $C_{3-8}$cycloalkyloxy, $-N(R^{14})R^{15}$, $-CO_2R^{16}$, $-CON(R^{17})R^{18}$, cyano, nitro, $C_{1-5}$alkylthio, trifluoromethyl or trifluoromethoxy;

Ar is aryl or heteroaryl which aryl or heteroaryl is unsubstituted or substituted with 1 or more substituents, which are the same or different, selected from the group consisting of halogen, $C_{1-5}$alkyl, $C_{3-8}$cycloalkyl, $C_{2-5}$alkenyl, $C_{2-5}$alkynyl, $C_{1-5}$alkoxy, $C_{1-5}$alkylthio, $C_{1-5}$alkylsulfinyl, $C_{1-5}$alkylsulfonyl, cyano, nitro, hydroxy, $-CO_2R^{19}$, $-C(=O)R^{20}$, $-CONR^{21}R^{22}$, $-OC(=O)R^{23}$, $-NR^{24}CO_2R^{25}$, $-S(=O)_rNR^{26}R^{27}$, trifluoromethyl, trifluoromethoxy, difluoromethoxy, fluoromethoxy, methylenedioxy, ethylenedioxy and $-N(R^{28})R^{29}$;

$R^8$ is hydrogen, $C_{1-10}$alkyl, $C_{3-8}$cycloalkyl, $C_{3-8}$cycloalkyl-$C_{1-5}$alkyl, aryl or aryl-$C_{1-5}$alkyl;

$R^9$ and $R^{10}$ are the same or different, and independently are hydrogen, $C_{1-5}$alkyl, $C_{3-8}$cycloalkyl, $C_{3-8}$cycloalkyl-$C_{1-5}$alkyl, aryl or aryl-$C_{1-5}$alkyl; or $R^9$ and $R^{10}$ form a ring selected from saturated 3 to 8 membered ring with the attached nitrogen atom, wherein one of the carbon atoms of such saturated 3 to 8 membered ring is optionally replaced by an oxygen or sulfur atom or by N-Z wherein Z is hydrogen, benzyl or $C_{1-5}$alkyl;

$R^{11}$ is hydrogen, halogen or $C_{1-5}$alkyl;

$R^{12}$, $R^{13}$, $R^{14}$ and $R^{15}$ are the same or different, and independently are hydrogen or $C_{1-5}$alkyl;

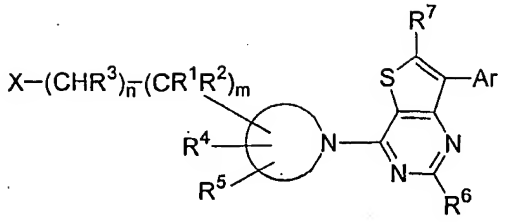
$R^{16}$, $R^{19}$ and $R^{25}$ are the same or different, and independently are hydrogen or $C_{1-5}$alkyl, $C_{3-8}$cycloalkyl, $C_{3-8}$cycloalkyl-$C_{1-5}$alkyl, aryl or aryl-$C_{1-5}$alkyl;

$R^{17}$, $R^{18}$, $R^{20}$, $R^{21}$, $R^{22}$, $R^{23}$, $R^{24}$, $R^{26}$, $R^{27}$, $R^{28}$ and $R^{29}$ are the same or different, and independently are hydrogen, $C_{1-5}$alkyl or $C_{3-8}$cycloalkyl;

r is 1 or 2)

, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, pharmaceutically acceptable prodrugs thereof or pharmaceutically acceptable salts and hydrates thereof.

2. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 1 represented by the following formula [III]:



[III]

(wherein X, m, n, the cyclic amino group, $R^1$, $R^2$, $R^3$, $R^4$, $R^5$, $R^6$, $R^7$ and Ar are as defined in claim 1), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

3. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is cyano; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, $C_{1-3}$alkyl, $C_{1-3}$alkoxy, $C_{1-3}$alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{28})R^{29}$ (wherein $R^{28}$ and $R^{29}$ are the same or different, and independently are hydrogen or $C_{1-3}$alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

4. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is cyano; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is 0 or 1; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and $C_{1-3}$alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

5. The thienopyrimidine derivative substituted with the cyclic amino group

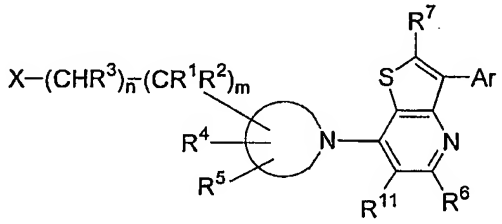
according to claim 2 represented by formula [III], wherein X is hydroxy; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, $C_{1-3}$alkyl, $C_{1-3}$alkoxy, $C_{1-3}$alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{28})R^{29}$ (wherein $R^{28}$ and $R^{29}$ are the same or different, and independently are hydrogen or $C_{1-3}$alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

6. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is hydroxy; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and $C_{1-3}$alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

7. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is $-CO_2R^8$ or $-CONR^9R^{10}$; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; $R^8$ is hydrogen or $C_{1-10}$alkyl; $R^9$ and $R^{10}$ are the same or different, and independently are hydrogen or $C_{1-5}$alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, $C_{1-3}$alkyl, $C_{1-3}$alkoxy, $C_{1-3}$alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{28})R^{29}$ (wherein $R^{28}$ and $R^{29}$ are the same or different, and independently are hydrogen or $C_{1-3}$alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

8. The thienopyrimidine derivative substituted with the cyclic amino group according to claim 2 represented by formula [III], wherein X is $-CO_2R^8$ or $-CONR^9R^{10}$; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; $R^8$ is hydrogen or $C_{1-10}$alkyl; $R^9$ and $R^{10}$ are the same or different, and independently are hydrogen or $C_{1-5}$alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and $C_{1-3}$alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

9. The thienopyridine derivative substituted with the cyclic amino group according to claim 1 represented by the following formula [IV]:



[IV]

(wherein X, m, n, the cyclic amino group, $R^1$, $R^2$, $R^3$, $R^4$, $R^5$, $R^6$, $R^7$, $R^{11}$ and Ar are as defined in claim 1), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

10. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is cyano; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; $R^{11}$ is hydrogen or $C_{1-5}$alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, $C_{1-3}$alkyl, $C_{1-3}$alkoxy, $C_{1-3}$alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{28})R^{29}$ (wherein $R^{28}$ and $R^{29}$ are the same or different, and independently are hydrogen or $C_{1-3}$alkyl), individual isomers

thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

11. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is cyano; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is 0 or 1; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; $R^{11}$ is hydrogen; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and $C_{1-3}$alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

12. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is hydroxy; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; $R^{11}$ is hydrogen or $C_{1-5}$alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, $C_{1-3}$alkyl, $C_{1-3}$alkoxy, $C_{1-3}$alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{28})R^{29}$ (wherein $R^{28}$ and $R^{29}$ are the same or different, and independently are hydrogen or $C_{1-3}$alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

13. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is hydroxy; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; $R^{11}$ is hydrogen; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and $C_{1-3}$alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

14. The thienopyridine derivative substituted with the cyclic amino group according to claim 9 represented by formula [IV], wherein X is $-CO_2R^8$ or $-CONR^9R^{10}$; the cyclic amino group is a 4- to 7-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; $R^8$ is hydrogen or $C_{1-10}$alkyl; $R^9$ and $R^{10}$ are the same or different, and independently are hydrogen or $C_{1-5}$alkyl; $R^{11}$ is hydrogen or $C_{1-5}$alkyl; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen, $C_{1-3}$alkyl, $C_{1-3}$alkoxy, $C_{1-3}$alkylthio, trifluoromethyl, trifluoromethoxy and $-N(R^{28})R^{29}$ (wherein $R^{28}$ and $R^{29}$ are the same or different, and independently are hydrogen or $C_{1-3}$alkyl), individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

15. The thienopyridine derivative substituted with the cyclic amino group according to claim 2 represented by formula [IV], wherein X is $-CO_2R^8$ or $-CONR^9R^{10}$; the cyclic amino group is a 6-membered saturated cyclic amine; n is 0; m is an integer selected from 0, 1, 2 and 3; $R^1$, $R^2$, $R^4$ and $R^5$ are hydrogen; $R^6$ is $C_{1-5}$alkyl; $R^7$ is hydrogen or $C_{1-5}$alkyl; $R^8$ is hydrogen or $C_{1-10}$alkyl; $R^9$ and $R^{10}$ are the same or different, and independently are hydrogen or $C_{1-5}$alkyl; $R^{11}$ is hydrogen; and Ar is phenyl which phenyl is substituted with two or three substituents, which are the same or different, selected from the group consisting of halogen and $C_{1-3}$alkyl, individual isomers thereof or racemic or non-racemic mixtures of isomers thereof, or pharmaceutically acceptable salts and hydrates thereof.

16. Compounds represented by formula [I] according to claim 1, which compounds are selected from the group consisting of

{1-[7-(4-Bromo-2,6-dimethyl-phenyl)-2-methyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,6-dimethyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-methanol,

2-{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,6-dimethyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-ethanol,

{1-[7-(4-bromo-2,6-dimethyl-phenyl)-2,6-dimethyl-thieno[3,2-d]pyrimidin-4-yl]-piperidin-4-yl}-acetonitrile,

{1-[3-(2,4-dichloro-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,

{1-[5-methyl-3-(2,4,6-trimethyl-phenyl)-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,

{1-[3-(4-bromo-2,6-dimethyl-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,

{1-[3-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,

{1-[3-(2,4-dibromo-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,

{1-[5-methyl-3-(2,4,6-trichloro-phenyl)-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-methanol,

2-{1-[3-(4-bromo-2,6-dimethyl-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-ethanol,

2-{1-[3-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-ethanol,

2-{1-[3-(2,4-dibromo-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-ethanol,

2-{1-[5-methyl-3-(2,4,6-trichloro-phenyl)-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-ethanol,

1-[5-methyl-3-(2,4,6-trimethyl-phenyl)-thieno[3,2-b]pyridin-7-yl]-piperidine-3-carbonitrile,

{1-[3-(4-bromo-2,6-dimethyl-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-acetonitrile,

{1-[3-(4-bromo-2,6-dimethyl-phenyl)-2,5-dimethyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-acetonitrile,

{1-[3-(2,4-dibromo-phenyl)-5-methyl-thieno[3,2-b]pyridin-7-yl]-piperidin-4-yl}-acetonitrile

and {1-[5-methyl-3-(2,4,6-trichloro-phenyl)-thieno[3,2-b]pyridin-7-yl]-

piperidin-4-yl}-acetonitrile.

17. An antagonist for CRF receptors, comprising a thienopyrimidine or thienopyridine derivative substituted with a cyclic amino group, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claims 1 to 16, as an active ingredient.

18. Use of a thienopyrimidine or thienopyridine derivative substituted with a cyclic amino group, a pharmaceutically acceptable salt thereof or its hydrate according to any one of claim 1 to 16, for the manufacture of a therapeutic agent as an antagonist for CRF receptors.